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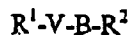
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AP20 Rec'd PCT/PTO 22 JUN 2006

WHAT IS CLAIMED IS:

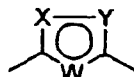
1. A compound of formula (I), or a pharmaceutically acceptable salt thereof:

5



(I)

wherein V represents a 5-membered heteroaryl ring of the formula:



10

wherein W is N and one of X and Y is N and the other is O;

B is $-\text{CH}=\text{CH}-$ or $(\text{CH}_2)_n$, where one of the CH_2 groups may be replaced by O, NR^5 , $\text{S}(\text{O})_m$, $\text{C}(\text{O})$ or $\text{C}(\text{O})\text{NR}^{12}$;

n is 2 or 3;

m is independently 0, 1 or 2;

15

R^1 is 4-pyridyl optionally substituted by 1 or 2 halo, C_{1-4} alkyl, C_{1-4} fluoroalkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{3-7} cycloalkyl, aryl, OR^6 , CN, NO_2 , $\text{S}(\text{O})_m\text{R}^6$, $\text{CON}(\text{R}^6)_2$, $\text{N}(\text{R}^6)_2$, $\text{NR}^{10}\text{COR}^6$, $\text{NR}^{10}\text{SO}_2\text{R}^6$, $\text{SO}_2\text{N}(\text{R}^6)_2$, 4- to 7-membered heterocyclyl or 5- or 6-membered heteroaryl groups;

20

R^2 is 4- to 7-membered cycloalkyl substituted by R^3 , $\text{C}(\text{O})\text{OR}^3$, $\text{C}(\text{O})\text{R}^3$ or $\text{S}(\text{O})_2\text{R}^3$, or 4- to 7-membered heterocyclyl, containing one or two nitrogen atoms which is unsubstituted or substituted by $\text{C}(\text{O})\text{OR}^4$, $\text{C}(\text{O})\text{R}^4$, $\text{S}(\text{O})_2\text{R}^4$, $\text{C}(\text{O})\text{NHR}^4$, $\text{P}(\text{O})(\text{OR}^{11})_2$ or a 5- or 6-membered nitrogen containing heteroaryl group;

25

R^3 is C_{3-8} alkyl, C_{3-8} alkenyl or C_{3-8} alkynyl, any of which may be optionally substituted with up to 5 fluoro or chloro atoms, and may contain a CH_2 group that may be replaced by O, or C_{3-7} cycloalkyl, aryl, heterocyclyl, heteroaryl, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{1-4} alkylaryl, C_{1-4} alkylheterocyclyl or C_{1-4} alkylheteroaryl, any of which may be optionally substituted with one or more substituents selected from halo, C_{1-4} alkyl, C_{1-4} fluoroalkyl, OR^6 , CN, $\text{CO}_2\text{C}_{1-4}$ alkyl, $\text{N}(\text{R}^6)_2$ and NO_2 ;

30

R^4 is C_{2-8} alkyl, C_{2-8} alkenyl or C_{2-8} alkynyl, any of which may be optionally substituted with up to 5 fluoro or chloro atoms, and may contain a CH_2 group that may be replaced by O, or C_{3-7} cycloalkyl, aryl, heterocyclyl, heteroaryl, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{1-4} alkylaryl, C_{1-4} alkylheterocyclyl or C_{1-4} alkylheteroaryl, any of which may be substituted with one or more substituents selected from halo, C_{1-4} alkyl, C_{1-4} fluoroalkyl, OR^6 , CN, $\text{CO}_2\text{C}_{1-4}$ alkyl, $\text{N}(\text{R}^6)_2$ and NO_2 ;

35

R^5 is hydrogen, $\text{C}(\text{O})\text{R}^7$, $\text{S}(\text{O})_2\text{R}^7$, C_{3-7} cycloalkyl or C_{1-4} alkyl optionally substituted by OR^6 , C_{3-7} cycloalkyl, aryl, heterocyclyl or heteroaryl, wherein the cyclic groups may be substituted with one or more substituents selected from halo, C_{1-2} alkyl, C_{1-2} fluoroalkyl, OR^6 , CN, $\text{N}(\text{R}^6)_2$ and NO_2 ;

40

R^6 are independently hydrogen, C_{1-4} alkyl, C_{3-7} cycloalkyl, aryl, heterocyclyl or heteroaryl, wherein the cyclic groups may be substituted with one or more substituents selected from halo, C_{1-4} alkyl, C_{1-4} fluoroalkyl, OR^9 , CN, SO_2CH_3 , $\text{N}(\text{R}^{10})_2$ and NO_2 ; or a group $\text{N}(\text{R}^{10})_2$ may form a 4- to 7-membered heterocyclic ring optionally containing a further heteroatom selected from O and NR^{10} ;

NC-10009/WO

R^7 is hydrogen, C_{1-4} alkyl, OR^6 , $N(R^6)_2$, aryl or heteroaryl;

R^8 is C_{1-4} alkyl, C_{1-4} fluoroalkyl, aryl or heteroaryl;

R^9 is hydrogen, C_{1-2} alkyl or C_{1-2} fluoroalkyl;

R^{10} is hydrogen or C_{1-4} alkyl;

5 R^{11} is phenyl; and

R^{12} is hydrogen, C_{1-4} alkyl or C_{3-7} cycloalkyl;

provided that the compound is not:

a) 4-(5-piperidin-4-yl-[1,2,4]oxadiazol-3-yl)pyridine;

b) 4-(3-pyridin-4-yl-[1,2,4]oxadiazol-5-yl)piperidine-1-carboxylic acid butyl ester; or

10 c) 4-[5-(4-butylcyclohexyl)-[1,2,4]oxadiazol-3-yl]pyridine.

2. A compound according to claim 1, or a pharmaceutically acceptable salt thereof; wherein R^1 is 4-pyridyl optionally substituted by halo, C_{1-4} alkyl, C_{1-4} alkoxy or CN.

3. A compound according to claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein R^2 is a 4- to 7-membered cycloalkyl substituted by R^3 , or 4- to 7-membered heterocyclyl containing one nitrogen atom which is substituted by $C(O)OR^4$.

4. A compound according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein R^3 is C_{3-8} alkyl which may contain a CH_2 group that may be replaced by O, or C_{3-7} cycloalkyl.

5. A compound according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein R^4 is C_{2-8} alkyl, C_{2-8} alkenyl or C_{2-8} alkynyl, any of which may be optionally substituted with up to 5 fluoro or chloro atoms, and may contain a CH_2 group that may be replaced by O, or C_{3-7} cycloalkyl, aryl, 5- to 6-membered heteroaryl containing one or two nitrogen atoms, C_{1-4} alkyl/ C_{3-7} cycloalkyl or C_{1-4} alkylaryl, any of which may be substituted with one or more substituents selected from halo, C_{1-4} alkyl, C_{1-4} fluoroalkyl, OR^6 and CO_2C_{1-4} alkyl.

6. A compound according to claim 5, or a pharmaceutically acceptable salt thereof, wherein R^4 is C_{3-6} alkyl optionally substituted with up to 5 fluoro or chloro atoms, and which may contain a CH_2 group that may be replaced by O, or C_{3-7} cycloalkyl.

7. A compound according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein R^5 is C_{1-4} alkyl.

8. A compound as defined in any one of Examples 1, 3 to 5, 10 to 13, 16 to 39, 41, 42, or 52 to 132, 134, 135, or 147 to 149 or a pharmaceutically acceptable salt thereof.

9. A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein:

B is $-CH=CH-$ or $(CH_2)_m$, where one of the CH_2 groups may be replaced by O, NR^5 , $S(O)_m$ or $C(O)$;

NC-10009/WO

n is 2 or 3;

m is independently 0, 1 or 2;

R² is 4- to 7-membered heterocyclyl containing one nitrogen atom which is substituted by C(O)OR⁴ or a 6-membered nitrogen containing heteroaryl group;

5 R⁴ is C₂₋₈ alkyl, C₂₋₈ alkenyl or C₂₋₈ alkynyl, any of which may be optionally substituted with up to 5 fluoro or chloro atoms, and may contain a CH₂ group that may be replaced by O, or C₃₋₇ cycloalkyl, aryl, heterocyclyl, heteroaryl, C₁₋₄ alkylC₃₋₇ cycloalkyl, C₁₋₄ alkylaryl, C₁₋₄ alkylheterocyclyl or C₁₋₄ alkylheteroaryl, any of which may be substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, OR⁶, CN, CO₂C₁₋₄ alkyl, N(R⁶)₂ and NO₂;

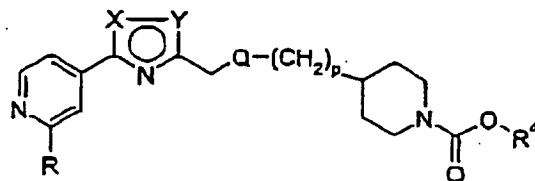
R⁵ is hydrogen or C₁₋₄ alkyl;

10 R⁶ are independently hydrogen, or C₁₋₄ alkyl, C₃₋₇ cycloalkyl, aryl, heterocyclyl or heteroaryl, wherein the cyclic groups may be substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, OR⁹, CN, SO₂CH₃, N(R¹⁰)₂ and NO₂; or a group N(R¹⁰)₂ may form a 4- to 7-membered heterocyclic ring optionally containing a further heteroatom selected from O and NR¹⁰;

R⁹ is hydrogen, C₁₋₂ alkyl or C₁₋₂ fluoroalkyl; and

R¹⁰ is hydrogen or C₁₋₄ alkyl.

20 10. A compound according to claim 1 having the formula (Ie), or a pharmaceutically acceptable salt thereof:



(Ie)

25 wherein one of X and Y is N, and the other is O;

Q is O, NR⁵ or CH₂;

R is hydrogen, halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₃₋₇ cycloalkyl, aryl, OR⁶, CN, NO₂, S(O)_mR⁶, CON(R⁶)₂, N(R⁶)₂, NR¹⁰COR⁶, NR¹⁰SO₂R⁶, SO₂N(R⁶)₂, a 4- to 7-membered heterocyclyl group or a 5- or 6-membered heteroaryl group;

30 R⁶ is C₂₋₈ alkyl, C₂₋₈ alkenyl or C₂₋₈ alkynyl, any of which may be optionally substituted with up to 5 fluoro or chloro atoms, and contain a CH₂ group that may be replaced by O, or C₃₋₇ cycloalkyl, aryl, heterocyclyl, heteroaryl, C₁₋₄ alkylC₃₋₇ cycloalkyl, C₁₋₄ alkylaryl, C₁₋₄ alkylheterocyclyl or C₁₋₄ alkylheteroaryl, any of which may be substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, OR⁶, CN, CO₂C₁₋₄ alkyl, N(R⁶)₂ and NO₂;

35

R⁵ is C₁₋₄ alkyl;

R⁶ are independently hydrogen, or C₁₋₄ alkyl, C₃₋₇ cycloalkyl, aryl, heterocyclyl or heteroaryl, wherein the cyclic groups may be substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, OR⁹, CN, SO₂CH₃, N(R¹⁰)₂ and NO₂; or a group N(R¹⁰)₂

NC-10009/WO

may form a 4- to 7-membered heterocyclic ring optionally containing a further heteroatom selected from O and NR¹⁰;

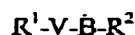
R⁹ is hydrogen, C₁₋₂ alkyl or C₁₋₂ fluoroalkyl;

R¹⁰ is hydrogen or C₁₋₄ alkyl; and

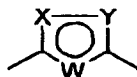
p is 0 or 1.

11. A pharmaceutical composition comprising a compound according to any one of claims 1 to 10, including the compound of proviso c), or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

12. A method for the treatment of a disease or condition in which GPR116 plays a role comprising a step of administering to a subject in need thereof an effective amount of a compound of the formula, or pharmaceutically acceptable salt thereof:



wherein V represents a 5-membered heteroaryl ring of the formula:



wherein W is N and one of X and Y is N and the other is O;

B is -CH=CH- or (CH₂)_n, where one of the CH₂ groups may be replaced by O, NR⁵, S(O)_m, C(O) or C(O)NR¹²;

n is 0, 1, 2 or 3;

m is independently 0, 1 or 2;

R¹ is 3- or 4-pyridyl, 4- or 5-pyrimidinyl or 2-pyrazinyl, any of which may be optionally substituted by one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₃₋₇ cycloalkyl, aryl, OR⁶, CN, NO₂, S(O)_mR⁶, CON(R⁶)₂, N(R⁶)₂, NR¹⁰COR⁶, NR¹⁰SO₂R⁶, SO₂N(R⁶)₂, a 4- to 7-membered heterocyclyl group or a 5- or 6-membered heteroaryl group;

R² is 4- to 7-membered cycloalkyl substituted by R³, C(O)OR³, C(O)R³ or S(O)₂R³, or 4- to 7-membered heterocyclyl, containing one or two nitrogen atoms which is unsubstituted or substituted by C(O)OR⁴, C(O)R⁴, S(O)₂R⁴, C(O)NHR⁴, P(O)(OR¹¹)₂ or a 5- or 6-membered nitrogen containing heteroaryl group;

R³ is C₁₋₈ alkyl, C₂₋₈ alkenyl or C₂₋₈ alkynyl, any of which may be optionally substituted with up to 5 fluoro or chloro atoms, and may contain a CH₂ group that may be replaced by O, or C₃₋₇ cycloalkyl, aryl, heterocyclyl, heteroaryl, C₁₋₄ alkylC₃₋₇ cycloalkyl, C₁₋₄ alkylaryl, C₁₋₄ alkylheterocyclyl or C₁₋₄ alkylheteroaryl, any of which may be optionally substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, OR⁶, CN, CO₂C₁₋₄ alkyl, N(R⁶)₂ and NO₂;

R⁴ is C₂₋₈ alkyl, C₂₋₈ alkenyl or C₂₋₈ alkynyl, any of which may be optionally substituted with up to 5 fluoro or chloro atoms, and may contain a CH₂ group that may be replaced by O, or C₃₋₇ cycloalkyl, aryl, heterocyclyl, heteroaryl, C₁₋₄ alkylC₃₋₇ cycloalkyl, C₁₋₄ alkylaryl, C₁₋₄ alkylheterocyclyl or C₁₋₄ alkylheteroaryl, any of which may be substituted with one or more

NC-10009/WO

substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, OR⁶, CN, CO₂C₁₋₄ alkyl, N(R⁶)₂ and NO₂;

5 R⁵ is hydrogen, C(O)R⁷, S(O)₂R⁸, C₃₋₇ cycloalkyl or C₁₋₄ alkyl optionally substituted by OR⁶, C₃₋₇ cycloalkyl, aryl, heterocyclyl or heteroaryl, wherein the cyclic groups may be substituted with one or more substituents selected from halo, C₁₋₂ alkyl, C₁₋₂ fluoroalkyl, OR⁶, CN, N(R⁶)₂ and NO₂;

10 R⁶ are independently hydrogen C₁₋₄ alkyl, C₃₋₇ cycloalkyl, aryl, heterocyclyl or heteroaryl, wherein the cyclic groups may be substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, OR⁶, CN, SO₂CH₃, N(R¹⁰)₂ and NO₂; or a group N(R¹⁰)₂ may form a 4- to 7-membered heterocyclic ring optionally containing a further heteroatom selected from O and NR¹⁰;

R⁷ is hydrogen, C₁₋₄ alkyl, OR⁶, N(R⁶)₂, aryl or heteroaryl;

R⁸ is C₁₋₄ alkyl, C₁₋₄ fluoroalkyl, aryl or heteroaryl;

R⁹ is hydrogen, C₁₋₂ alkyl or C₁₋₂ fluoroalkyl;

R¹⁰ is hydrogen or C₁₋₄ alkyl;

R¹¹ is phenyl; and

R¹² is hydrogen, C₁₋₄ alkyl or C₃₋₇ cycloalkyl.

20 13. A method for the treatment of a disease or condition in which GPR116 plays a role comprising a step of administering to a subject in need thereof an effective amount of a compound according to any one of claims 1 to 10, including the compounds of provisos a) to c), or a pharmaceutically acceptable salt thereof.

25 14. A method for the regulation of satiety comprising a step of administering to a subject in need thereof an effective amount of a compound according to any one of claims 1 to 10 or 12, including the compounds of provisos a) to c), or a pharmaceutically acceptable salt thereof.

30 15. A method for the treatment of obesity comprising a step of administering to a subject in need thereof an effective amount of a compound according to any one of claims 1 to 10 or 12, including the compounds of provisos a) to c), or a pharmaceutically acceptable salt thereof.

35 16. A method for the treatment of diabetes comprising a step of administering to a subject in need thereof an effective amount of a compound according to any one of claims 1 to 10 or 12, including the compounds of provisos a) to c), or a pharmaceutically acceptable salt thereof.

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